

09737687

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal613sxx

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 SEP 09 CA/CAPLUS records now contain indexing from 1907 to the
present
NEWS 4 AUG 05 New pricing for EUROPATFULL and PCTFULL effective
August 1, 2003
NEWS 5 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 6 AUG 18 Data available for download as a PDF in RDISCLOSURE
NEWS 7 AUG 18 Simultaneous left and right truncation added to PASCAL
NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right
Truncation
NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR
NEWS 10 SEP 22 DIPPR file reloaded
NEWS 11 SEP 25 INPADOC: Legal Status data to be reloaded
NEWS 12 SEP 29 DISSABS now available on STN
NEWS 13 OCT 10 PCTFULL: Two new display fields added
NEWS 14 OCT 21 BIOSIS file reloaded and enhanced
NEWS 15 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced

NEWS EXPRESS NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

All use of STN is subject to the provisions of the STN Customer
agreement. Please note that this agreement limits use to scientific
research. Use for software development or design or implementation
of commercial gateways or other similar uses is prohibited and may
result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 17:50:33 ON 14 NOV 2003

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

09737687

	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:51:14 ON 14 NOV 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 13 NOV 2003 HIGHEST RN 616855-37-9
DICTIONARY FILE UPDATES: 13 NOV 2003 HIGHEST RN 616855-37-9

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STN Note 27, Searching Properties
in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

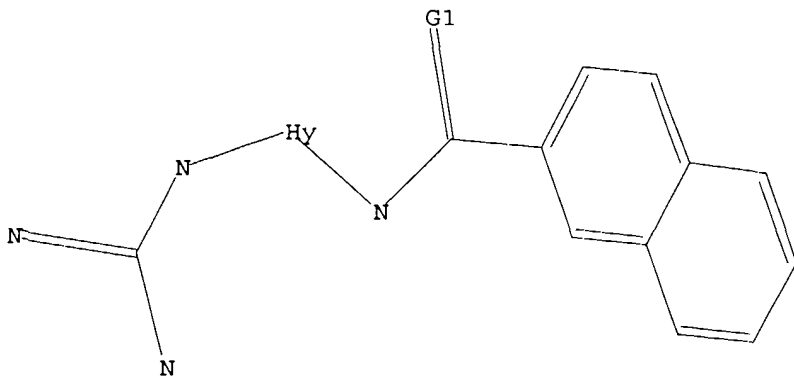
Uploading 09737687.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 17:51:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 795 TO ITERATE

09737687

100.0% PROCESSED 795 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 14209 TO 17591
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 17:51:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 15291 TO ITERATE

100.0% PROCESSED 15291 ITERATIONS 7 ANSWERS
SEARCH TIME: 00.00.03

L3 7 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 148.15 148.36

FILE 'CAPLUS' ENTERED AT 17:51:40 ON 14 NOV 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 14 Nov 2003 VOL 139 ISS 21
FILE LAST UPDATED: 13 Nov 2003 (20031113/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

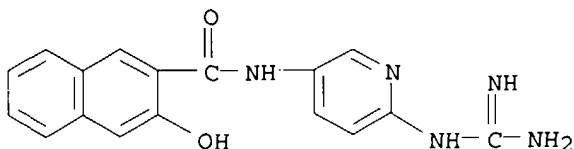
=> s l3 full
L4 2 L3

=> d l4 1-2 ibib abs hitstr

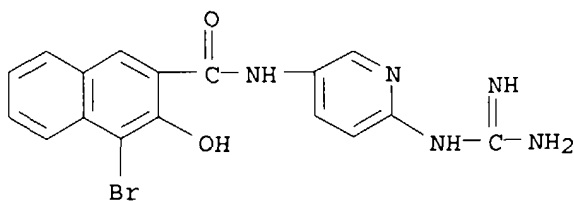
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:510525 CAPLUS
DOCUMENT NUMBER: 138:180188
TITLE: 4-Aminoarylguanidine and 4-aminobenzamidine
derivatives as potent and selective urokinase-type
plasminogen activator inhibitors
AUTHOR(S): Spencer, Jeffrey R.; McGee, Danny; Allen, Darin; Katz,

09737687

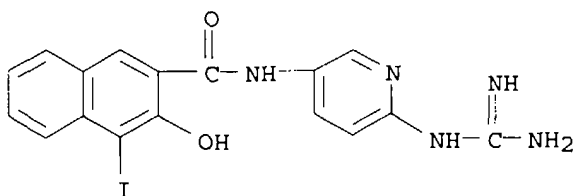
Bradley A.; Luong, Christine; Sendzik, Martin;
Squires, Neil; Mackman, Richard L.
CORPORATE SOURCE: Celera, South San Francisco, CA, 94080, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002),
12(15), 2023-2026
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:180188
AB The structure-based design of potent and selective urokinase-type
plasminogen activator (uPA) inhibitors with 4-aminoarylhydrazide or
4-aminoarylguanidine S1 binding groups, is described.
IT 345237-31-2 498565-31-4 498565-32-5
498565-33-6 498565-34-7
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological
study)
(aminoarylguanidine and aminobenzamidine derivs. as potent and
selective urokinase-type plasminogen activator inhibitors)
RN 345237-31-2 CAPLUS
CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-
hydroxy- (9CI) (CA INDEX NAME)



RN 498565-31-4 CAPLUS
CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-4-
bromo-3-hydroxy- (9CI) (CA INDEX NAME)



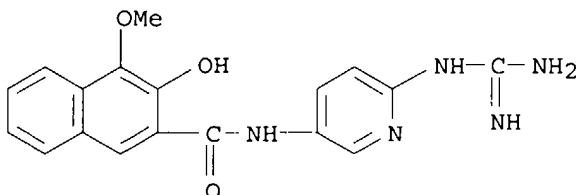
RN 498565-32-5 CAPLUS
CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-
hydroxy-4-iodo- (9CI) (CA INDEX NAME)



09737687

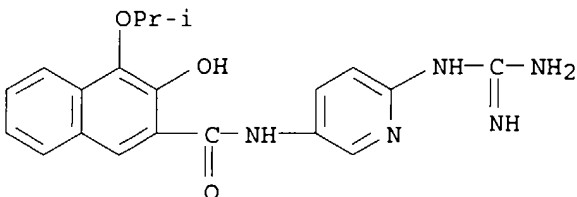
RN 498565-33-6 CAPLUS

CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 498565-34-7 CAPLUS

CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy-4-(1-methylethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:453001 CAPLUS

DOCUMENT NUMBER: 135:46002

TITLE: Synthesis and use of amidino/guanidino-arylamino salicylamides as serine protease inhibitors for treatment of cancer related disorders

INVENTOR(S): Allen, Darin Arthur; McGee, Danny Peter Claude; Spencer, Jeffrey R.

PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

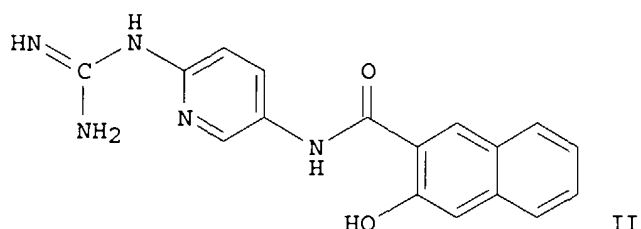
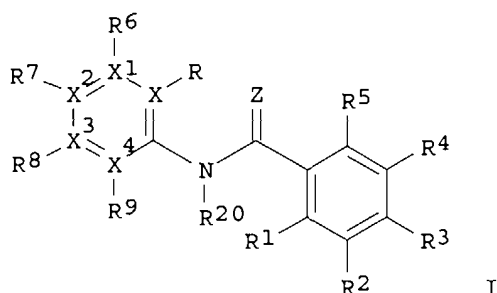
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044172	A1	20010621	WO 2000-US34211	20001214
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

09737687

US 2002052343 A1 20020502 US 2000-737687 20001214
EP 1242366 A1 20020925 EP 2000-984472 20001214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: US 1999-170916P P 19991215
WO 2000-US34211 W 20001214
OTHER SOURCE(S): MARPAT 135:46002
GI



AB Compds. I and a process for their synthesis are claimed [wherein; R1 = OH, CO2H, ester, CH2O-, (O)SO3H, sulfonate ester or OP(O)(OH)2 or esters thereof; R2-5 = H, SH, O-, halo, ester, amide, (substituted)aryl, heterocyclyl, etc.; R, R6, R9 = H, halo, CN, (halo)alkyl, NO2, O-aryl/alkyl or R, R6 taken together form (un)satd. (un)substituted C4; R7, R8 = OH, CF3, H, CO2H, NO2, (O)alkyl/aryl, halo, cyano, (substituted)guanidino/amidino, imidazolin-2-yl, N-amidino(morpholine/piperidine), etc.; X includes C; X1-4 = C or N; R20 = H or OH; Z = O, S, CH2, N-, H(CO2H), H(CH2OH), etc.; with the proviso that at least 2 of X1-4 = C and when any of X1-4 = N the corresponding substituent does not exist]. Data for over 40 synthetic examples is provided. The process claimed involves a selective acylation of an amino group and is exemplified by the synthesis of II. 3-Acetoxy-2-chlorocarbonylnaphthalene was prepd. from the corresponding carboxylic acid and coupled, in the presence of N,N-dimethylacetamide (or other selected acetamides), to N-(5-aminopyridin-2-yl)guanidine hydrochloride to give the acetoxy deriv. of II. The acetoxy deriv. was treated with 1M HCl for 2 h to provide II, isolated as the HCl salt. Compds. of the invention are inhibitors of serine proteases, urokinase (uPA), factor Xa (FXa) and/or factor VIIa (FVIIa). Guanidine II had Ki = 0.326 .mu.M for urokinase and Ki = 130 .mu.M for FXa. Compds. I are anticancer agents and/or anticoagulants and also used for the treatment or prevention of thromboembolic disorders in mammals.

09737687

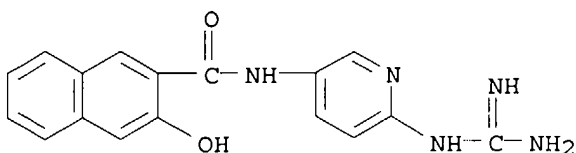
IT 345237-02-7P 345237-31-2P 345237-32-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; synthesis and use of amidino/guanidino-aryl amino salicylamides as serine protease inhibitors)

RN 345237-02-7 CAPLUS

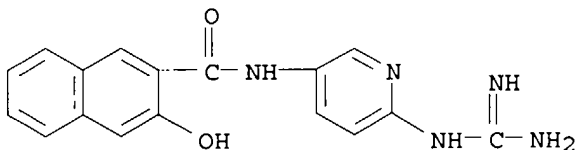
CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy-, hydrochloride (10:13) (9CI) (CA INDEX NAME)



●13/10 HCl

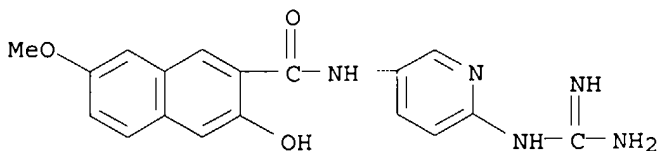
RN 345237-31-2 CAPLUS

CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy- (9CI) (CA INDEX NAME)



RN 345237-32-3 CAPLUS

CN 2-Naphthalenecarboxamide, N-[6-[(aminoiminomethyl)amino]-3-pyridinyl]-3-hydroxy-7-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT